

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE

ATTY. DOCKET NO. VPI/98-06DIV

SERIAL NO. 10/600,937

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

APPLICANTS
Michael R. Hale, et al.

CONF. NO.: 6239

FILING DATE June 20, 2003

GROUP /

		U.S.	PATENT DOCUMEN	ITS		<u> </u>
EXAMINER INITIAL	DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	FILING DATE IF APPROPRIATE
	3,743,722	· 7/3/73	Mohrs et al.	424	98	
	4,330,542	5/18/82	Descamps et al.	424	248.5	
	4,629,724	12/16/86	Ryono et al.	514	18	
	5,196,438	3/23/93	Martin et al.	514	311	
	5,354,866	10/11/94	Kempf et al.	546	265	
	5,622,949	4/22/97	Talley et al.	514	237.8	
	5,723,490	3/3/98	Tung	514	. 478	
	5,744,481	4/28/98	Vazquez et al.	514	311	
4	5,843,946	12/1/98	Vazquez et al.	514	252.11	
·						
<u> </u>			`			

		FOREIG	N PATENT DOCU	MENTS			
EXAMINER	DOCUMENT NUMBER	DATE	COUNTRY	01.400	SUPCLASS	TRANSLATION	
INITIAL				CLASS	SUBCLASS	YES	NO
an	0 022 118	1/7/81	EP				
	0 181 071	5/14/86	EP				
	0 264 795	4/27/88	EP				
	0 346 847	12/20/89	EP	ì			
	0 364 804	4/25/90	EP.				
	0 434 365	6/26/91	P.			•	
,	0 468 641	1/29/92	EP				
	0 486 948	5/27/92	EP				
	0 541 168	5/12/93	EP				
	0 594 540	4/27/94	EP				
	3542567	6/5/86	DE				

EXAMINER

om Melhi

DATE CONSIDERED 3

EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.

FORM PTO-1449 U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE			ATTY. DOCKET NO. VPI/98-06DIV			SERIAL NO. 10/600,937			
INFORMATION DISCLOSURE STATEMENT BY APPLICANT					APPLICANTS CONF. NO.: 6239 Michael R. Hale, et al.				
					FILING DATE June 20, 2003			GROUP 1615	
	2,167,759	6/4/86	GB	Ţ			+		Π
	2,200,115	7/27/88	GB		· · · ·		-	·	<u> </u>
······································	WO90/07329	7/12/90	PCT		1				
	WO91/00725	1/24/91	PCT		1				
	WO91/18866	12/12/91	PCT		1				1
···	WO92/08688	5/29/92	PCT	"					1
	WO92/08698	5/29/92	PCT						
	WO92/08699	5/29/92	PCT		1				
	WO92/08700	5/29/92	PCT						T
	WO92/08701	5/29/92	PCT		7	1			
	WO92/17176	10/15/92	PCT						
	WO93/23368	11/25/93	PCT	:					
	WO93/23388	11/25/93	PCT		1	1			
	WO93/23379	11/25/93	PCT						
	WO94/04491	3/3/94	PCT						1
	WO94/04492	3/3/94	PCT						1.
	WO94/04493	3/3/94	PCT		1				
	WO94/05639	3/17/94	PCT						
	WO94/10134	5/11/94	PCT		1				
	WO94/10136	5/11/94	PCT						Î
	WO94/18192	8/18/94	PCT			1.			
	WO94/19322	9/1/94	PCT						Ι.
	WO95/06030	3/2/95	PCT						
	WO95/07269_	3/16/95	PCT						
	WO95/09843	4/13/95	PCT		<u>L. </u>				
	WO95/14016 .	5/26/95	PCT						
	WO95/32185	11/30/95	PCT						
	WO96/33184	10/24/96	PCT				$\Box T$		
	WO96/33187	10/24/96	PCT						
	WO00/76961	12/21/00	PCT						
	59-46252	3/15/84	JP						
	59-48449	3/19/84	JP						

EXAMINER

DATE CONSIDERED.

EXAMINER: Initial if citation considered, whether of not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.

		<u></u>		<u> </u>					
FORM PTO-14		149 U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE			ATTY. DOCKET NO. SÉRIAL NO VPI/98-06DIV 10/600,937				
		INFORMATION		APPLICANTS CONF. NO.: 6239 Michael R. Hale, et al.					
STATEMENT BY APPLICANT					FILING DATE	GROUP			
		<u> 4</u>		<u> </u>	June 20, 2003	1615			
	Y	61-71830	4/12/86	JP		,			
		OTHER DOC	CUMENTS (Inclu	uding Author, Title,	Date, Pertinent Pages, Etc	c.)			
EXAMINER INITIAL									
•	Ten	Thompson et al, Ann. Reports Med. Chem., 36, pp. 247-257 (2001).							
		Polman et al, BMJ,	321, pp. 490-49	4 (2000).					
		Cohen et al, J. Neu							
		Menendez-Arias et al., "Moloney Murine Leukemia Virus Protease: Bacterial Expression and Characterization of the Purified Enzyme," Virology, 1996, pp. 557-563 (1993).							
		Berger et al., "Multiple-sclerosis-like Illness Occurring with Human Immunodeficiency Virus Infection," Neurology, 39, pp. 324-329 (1989).							
		Facchini et al., "Human Immunodeficiency Virus-1 Infection and Multiple Sclerosis-like Illness in a Child," Pediatr. Neurol., 26, pp. 231-235 (2002).							
		Banker et al., Modern Pharmaceutics, pp. 627-629 (1996).							
		R. Bone et al., "X-ray Crystal Structure of the HIV Protease Complex with L-700,417, an Inhibitor with Pseudo C ₂ Symmetry", J. Am. Chem. Soc., 113, pp. 9382-84 (1991).							
		J.C. Craig et al., "Antiviral Synergy Between Inhibitors of HIV Proteinase and Reverse Transcriptase" Antiviral Chem. and Chemotherapy, 4(3), pp. 161-66 (1990).				everse Transcriptase",			
		S. Crawford et al., "A Deletion Mutation in the 5' Part of the pol Gene of Moloney Murine Leukemia V Blocks Proteolytic Processing of the gag and pol Polyproteins", <u>J. Virol.</u> , 53, pp. 899-907 (1985).							
		M. Cushman et al., "Delvelopment of Methodology for the Synthesis of Stereochemically Pure Pheψ[CH₂N]Pro Linkages in HIV Protease Inhibitors", <u>J. Org. Chem.</u> , 56, pp. 4161-67 (1991).							
		D.S. Dhanoa et al., "The Synthesis of Potent Macrocyclic Renin Inhibitors", <u>Tetrahedron Lett.</u> , 33, pp. 1725-28 (1992).				ahedron Lett., 33, pp.			
		G.B. Dreyer et al., "Hydroxyethylene Isostere Inhibitors of Human Immunodeficiency Virus-1 Protease: Structure-Activity Analysis Using Enzyme Kinetics, X-ray Crystallography, and Infected T-Cell Assays", Biochemistry, 31, pp. 6646-59 (1992).							
			oplications to Ar		ute to a Novel Conformation ng Enzyme Inhibition", <u>J. /</u>				
		G. Fontenot et al., "PCR Amplification of HIV-1 Proteinase Sequences Directly from Lab Isolates All Determination of Five Conserved Domains", Virology, 190, pp. 1-10 (1992).				rom Lab Isolates Allows			
1					otease Inhibitors: Identific , 6, pp. 445-450 (1996).	ation of the 2-			
W		A. Ghosh et al., "Potent HIV Protease Inhibitors Incorporating High-Affinity P₂-Ligands and (R)-(Hydroxyethylamino)sulfonamide Isostere", Bio. & Med. Chem. Lett., 8, pp. 687-690 (1998).							

EXAMINER

DATE CONSIDERED

EXAMINER: Initial if citation considered, whether of not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.

FORM PTO-1449

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE

ATTY. DOCKET NO. VPI/98-06DIV

SERIAL NO. 10/600,937

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

APPLICANTS
Michael R. Hale, et al.

CONF. NO.: 6239

FILING DATE June 20, 2003 GROUP

OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.) EXAMINER INITIAL E.E. Gilbert, "Recent Developments in Preparative Sulfonation and Sulfation", Synthesis, 1969, pp. 3-10 (1969).A. Goldblum, "Modulation of the Affinity of Aspartic Proteases by the Mutated Residues in Active Site Models", FEBS, 261, pp. 241-44 (1990). D. Grobelny et al., "Selective Phosphinate Transition-State Analogue Inhibitors of the Protease of Human Immunodeficiency Virus", Biochem. Biophys. Res. Commun., 169, pp. 1111-16 (1990). G.D. Hartman et al., "4-Substituted Thiophene- and Furan-2-sulfonamides as Topical Carbonic Anhydrase Inhibitors", J. Med. Chem., 35, pp. 3822-31 (1992). S. J. Hays et al., "Synthesis of cis-4-(Phosphonooxy)-2-piperidinecarboxylic Acid, an N-Methyl-Daspartate Antagonist", J. Org. Chem., 56, pp. 4984-4086 (1991). J.R. Huff, "HIV Protease: A Novel Chemotherapeutic Target for AIDS", Journal of Medicinal Chemistry, 34(8), pp. 2305-14 (1991). K.Y. Hui et al., "A Rational Approach in the Search for Potent Inhibitors Against HIV Proteinase". FASEB, 5, pp. 2606-10 (1991). Y. Kiso et al., "'O→N Intramolecular Acyl Migration'-type Prodrugs of Tripeptide Inhibitors of HIV Protease", Peptides: Chemistry, Structure and Biology, 61, pp. 157-159 (1996). N.E. Kohl et al., "Active HIV Protease Is Required for Viral Infectivity", Proc. Natl. Acad. Sci. USA, 85, pp. 4686-90 (1988). X. Lin et al., "Enzymic Activities of Two-Chain Pepsinogen, Two-Chain Pepsin, and the Amino-Terminal Lobe of Pepsinogen", J. Biol. Chem., 267(24), pp. 17257-63 (1992). K.P. Manfredi et al., "Examination of HIV-1 Protease Secondary Structure Specificity Using Conformationally Constrained Inhibitors", J. Med. Chem., 34, pp. 3395-99 (1991). G.R. Marshall, "Computer-Aided Drug Design", Ann. Ref. Pharmacol. Toxicol., 27, pp. 193-213 (1987). J.A. Martin, "Recent Advances in the Design of HIV Proteinase Inhibitors", Antiviral Research, 17, pp. 265-78 (1992). T.D. Meek et al., "Inhibition of HIV-1 Protease in Infected T-Lymphocytes by Synthetic Peptide Analogues", Nature, 343, pp. 90-92 (1990). M. Miller et al., "Structure of Complex of Synthetic HIV-1 Protease with a Substrate-Based Inhibitor at 2.3 Å Resolution", Science, 246, pp. 1149-52 (1989). M. Miller et al., "Crystal Structure of a Retroviral Protease Proves Relationship to Aspartic Protease Family", Nature, 337, pp. 576-79 (1989). K.H.M. Murthy et al., "The Crystal Structures at 2.2-A Resolution of Hydroxyethylene-Based Inhibitors Bound to Human Immunodeficiency Virus Type 1 Protease Show That the Inhibitors Are Present in Two

EXAMINER

DATE CONSIDERED

EXAMINER: Initial if citation considered, whether of not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include gopy of this form with next communication to applicant.

Distinct Orientations", J. Biol. Chem., 267, pp. 22770-78 (1992).

FORM PTO-1449

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE

ATTY. DOCKET NO. VPI/98-06DIV

SERIAL NO. 10/600,937

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

APPLICANTS Michael R. Hale, et al. CONF. NO.: 6239

FILING DATE June 20, 2003 GROUP

	OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)							
EXAMINER INITIAL								
The	J.B. Nichols et al., "A Molecular Mechanics Valence Force Field for Sulfonamides Derived by <u>ab initio</u> Methods", J. Phys. Chem., 95, pp. 9803-11 (1991).							
	J. Palca, "Shooting at a New HIV Target", Science, 247, p. 410 (1990).							
	L.H. Pearl et al., "A Structural Model for the Retroviral Proteases", Nature, 329, pp. 329-51 (1987).							
· · · · · · · · · · · · · · · · · · ·	J.W. Perich et al., "The Synthesis of Multiple O-Phosphoseryl-Containing Peptides via Phenyl Phosphate Protection", J. Org. Chem., 53, pp. 4103-4105 (1988).							
	M.S. Plummer et al., "Design of Peptidomimetic Ligands for the pp60 ^{src} SH2 Domain", <u>Bioorganic & Medicinal Chemistry</u> , 5, pp. 41-47 (1997).							
	M. Popvic et al., "Detection, Isolation, and Continuous Production of Cytopathic Retroviruses (HTLV-III) from Patients with AIDS and Pre-AIDS", Science, 224, pp. 497-500 (1984).							
	M.D. Power et al., "Nucleotide Sequence of SRV-1, a Type D Simian Acquired Immune Deficiency Syndrome Retrovirus", Science, 231, pp. 1567-73 (1986).							
	N.A. Roberts, "Rational Design of Peptide-Based HIV Proteinase Inhibitors", <u>Science</u> , 248, pp. 358-61 (1990).							
	S. Scharpe et al., "Proteases and Their Inhibitors: Today and Tomorrow", <u>Biochimie</u> , 73, pp. 121-26 (1991).							
	S.K. Sharma et al., "Could Angiotensin I Be Produced from a Renin Substrate by the HIV-1 Protease?", Anal. Biochem., 198, pp. 363-67 (1991).							
0	S: Yamaguchi et al., "Synthesis of HIV Protease Dipeptide Inhibitors and Prodrugs", <u>Peptide Chemistry</u> 1996, pp. 297-300 (1997).							
· · · · · · · · · · · · · · · · · · ·								
	<u> </u>							
· · · · · · · · · · · · · · · · · · ·								
<u> </u>								
·								
·								
·								
·-·-								
	······································							

EXAMINER

DATE CONSIDERED

EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.